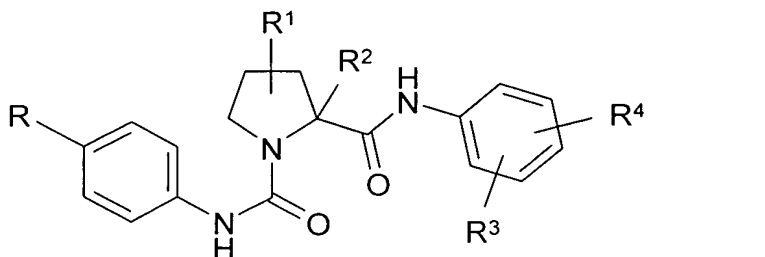


Patent Claims

1. Compounds of the formula I



in which

R denotes Hal, $-C\equiv C-H$, $-C\equiv C-A$ or OA,

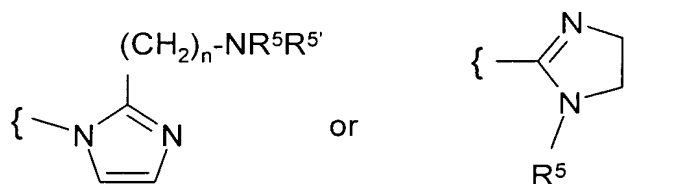
R¹ denotes H, =O, Hal, A, OH, OA, A-COO-, Ph-(CH₂)_n-COO-, cycloalkyl-(CH₂)_n-COO-, A-CONH-, A-CONA-, Ph-CONA-, N₃, NH₂, NO₂, CN, COOH, COOA, CONH₂, CONHA, CON(A)₂, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA or =CF₂,

R² denotes H or A,

Ph denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA, OH or Hal,

R³ denotes H, Hal or A,

R⁴ denotes $-C_6H_4-(CH_2)_n-NR^5R^{5'}$, $-C(=NR^5)NR^5R^{5'}$,



R⁵, R^{5'} each, independently of one another, denote H or A,

A denotes unbranched, branched or cyclic alkyl having 1-12 C atoms, in which, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

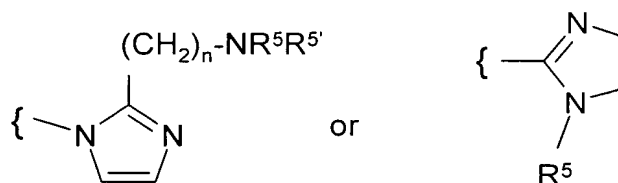
n denotes 0, 1, 2 or 3,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 2. Compounds according to Claim 1, in which
 R denotes Hal or $-C\equiv C-H$,
 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.
- 10 3. Compounds according to Claim 1 or 2, in which
 R¹ denotes H, =O, Hal, A, OH or OA,
 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.
- 15 4. Compounds according to one or more of Claims 1-3, in which
 R¹ denotes OH or OA,
 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.
- 20 5. Compounds according to one or more of Claims 1-4, in which
 R³ denotes H or Hal,
 and the pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.
- 25 6. Compounds according to one or more of Claims 1-5, in which
 R⁵, R^{5'} each, independently of one another, denote H or alkyl
 having 1, 2, 3, 4, 5 or 6 C atoms,
 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.
- 30 7. Compounds according to Claim 1, in which
 R denotes Hal or $-C\equiv C-H$,
 R¹ denotes OH or OA

R^2 denotes H or A,
 R^3 denotes H or Hal,
 R^4 denotes $-C_6H_4-(CH_2)_n-NR^5R^{5'}$, $-C(=NR^5)NR^4R^{5'}$,

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$R^5, R^{5'}$ each, independently of one another, denote H or A,
A denotes unbranched, branched or cyclic alkyl having 1-12 C atoms, in which, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

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Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2 or 3,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

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8. Compounds according to Claim 1 selected from the group

N-1-[(4-chlorophenyl)]-N-2-[[4-(2-{dimethylaminomethyl}-phenyl)phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

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N-1-[(4-ethynylphenyl)]-N-2-[[4-(2-{dimethylaminomethyl}-phenyl)phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

N-1-[(4-chlorophenyl)]-N-2-[[2-fluoro-4-(2-{dimethylaminomethyl}phenyl)phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

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N-1-[(4-ethynylphenyl)]-N-2-[[2-fluoro-4-(2-{dimethylaminomethyl}phenyl)phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

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N-1-[(4-chlorophenyl)]-N-2-[(4-(2-dimethylaminomethylimidazol-1-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

N-1-[(4-ethynylphenyl)]-N-2-[(4-(2-dimethylaminomethyl-imidazol-1-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

N-1-[(4-chlorophenyl)]-N-2-[(2-fluoro-4-(2-dimethylaminomethyl-
imidazol-1-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarbox-
amide,

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N-1-[(4-ethynylphenyl)]-N-2-[(2-fluoro-4-(2-dimethylamino-
methylimidazol-1-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-di-
carboxamide,

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N-1-[(4-chlorophenyl)]-N-2-[(4-(*N,N*-dimethylamidino)phenyl)]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

N-1-[(4-ethynylphenyl)]-N-2-[(4-(*N,N*-dimethylamidino)phenyl)]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

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N-1-[(4-chlorophenyl)]-N-2-[(2-fluoro-4-(*N,N*-dimethylamidino)-
phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

N-1-[(4-ethynylphenyl)]-N-2-[(2-fluoro-4-(*N,N*-dimethyl-
amidino)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

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N-1-[(4-chlorophenyl)]-N-2-[(4-(1-methyl-4,5-dihydro-1*H*-imi-
dazol-2-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarbox-
amide,

N-1-[(4-chlorophenyl)]-N-2-[(2-fluoro-4-(1-methyl-4,5-dihydro-
1*H*-imidazol-2-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarbox-
amide,

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N-1-[(4-ethynylphenyl)]-N-2-[(4-(1-methyl-4,5-dihydro-1*H*-imida-
zol-2-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

N-1-[(4-ethynylphenyl)]-N-2-[(2-fluoro-4-(1-methyl-4,5-di-
hydro-1*H*-imidazol-2-yl)phenyl)]-(2R,4R)-4-hydroxypyrrolidine-1,2-
dicarboxamide,

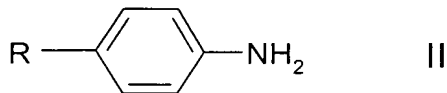
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and pharmaceutically usable derivatives, solvates, salts and stereo-
isomers thereof, including mixtures thereof in all ratios.

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9. Process for the preparation of compounds of the formula I according to Claims 1-8 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, characterised in that

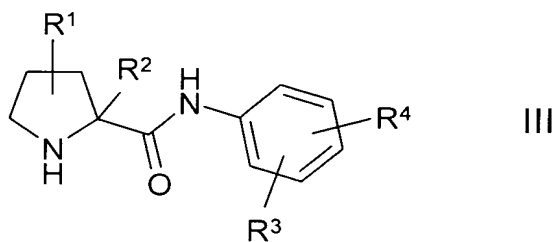
a) a compound of the formula II



in which R has the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

which is subsequently reacted with a compound of the formula III



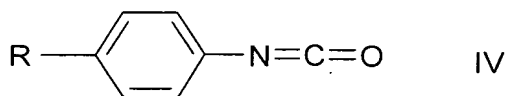
in which

R¹, R², R³ and R⁴ have the meaning indicated in Claim 1,

or

b) a compound of the formula III

is reacted with a compound of the formula IV



in which

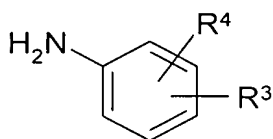
R has the meaning indicated in Claim 1,

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or

c) a compound of the formula V

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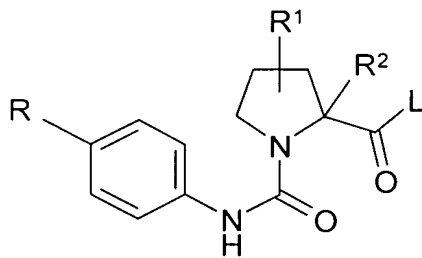
V,

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in which R^3 and R^4 have the meaning indicated in Claim 1,

is reacted with a compound of the formula VI

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VI

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in which

L denotes Cl, Br, I or a free or reactively functionally modified OH group and

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R, R^1 and R^2 have the meanings indicated in Claim 1,

and/or

a base or acid of the formula I is converted into one of its salts.

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10. Compounds of the formula I according to one or more of Claims 1 to 9 as inhibitors of coagulation factor Xa.

- 5 11. Compounds of the formula I according to one or more of Claims 1 to 9 as inhibitors of coagulation factor VIIa.
- 10 12. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.
- 15 13. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.
- 20 14. Use of compounds according to one or more of Claims 1 to 9 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, 25 restenosis after angioplasty, claudicatio intermittens, migraine, tinnitus, tumours, tumour diseases and/or tumour metastases.
- 30 15. Set (kit) consisting of separate packs of
(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios,
and
35 (b) an effective amount of a further medicament active ingredient.

16. Use of compounds of the formula I according to one or more of
Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates,
salts and stereoisomers thereof, including mixtures thereof in all
ratios, .
for the preparation of a medicament for the treatment of thromboses,
myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina
pectoris, restenosis after angioplasty, claudicatio intermittens,
migraine, tinnitus, tumours, tumour diseases and/or tumour metasta-
ses,
in combination with at least one further medicament active ingredient.

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